(20 b)

09889106 Page 1 01/03/2003

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1626gms

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
NEWS
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
         Apr 08
                 "Ask CAS" for self-help around the clock
NEWS 3
                 BEILSTEIN: Reload and Implementation of a New Subject Area
         Apr 09
NEWS 4
         Apr 09
                 ZDB will be removed from STN
                 US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 5
         Apr 19
NEWS 6
         Apr 22
                 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7
         Apr 22
                 BIOSIS Gene Names now available in TOXCENTER
                 Federal Research in Progress (FEDRIP) now available
NEWS 8
         Apr 22
                 New e-mail delivery for search results now available
NEWS 9
         Jun 03
NEWS 10
         Jun 10
                 MEDLINE Reload
NEWS 11
         Jun 10
                 PCTFULL has been reloaded
NEWS 12
         Jul 02
                 FOREGE no longer contains STANDARDS file segment
                 USAN to be reloaded July 28, 2002;
NEWS 13
         Jul 22
                 saved answer sets no longer valid
                 Enhanced polymer searching in REGISTRY
NEWS 14
         Jul 29
                 NETFIRST to be removed from STN
NEWS 15
         Jul 30
NEWS 16
         Aug 08
                 CANCERLIT reload
                 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 17
         Aug 08
                 NTIS has been reloaded and enhanced
NEWS 18
         Aug 08
NEWS 19
         Aug 19
                 Aquatic Toxicity Information Retrieval (AQUIRE)
                 now available on STN
NEWS 20
         Aug 19
                 IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21
         Aug 19
                 The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22
         Aug 26
                 Sequence searching in REGISTRY enhanced
NEWS 23
         Sep 03
                 JAPIO has been reloaded and enhanced
NEWS 24
         Sep 16
                 Experimental properties added to the REGISTRY file
NEWS 25
                 Indexing added to some pre-1967 records in CA/CAPLUS
         Sep 16
NEWS 26
                 CA Section Thesaurus available in CAPLUS and CA
         Sep 16
                CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27
        Oct 01
NEWS 28 Oct 21
                EVENTLINE has been reloaded
NEWS 29 Oct 24
                BEILSTEIN adds new search fields
NEWS 30 Oct 24
                Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 31 Oct 25
                MEDLINE SDI run of October 8, 2002
NEWS 32 Nov 18
                DKILIT has been renamed APOLLIT
NEWS 33 Nov 25
                More calculated properties added to REGISTRY
                 TIBKAT will be removed from STN
NEWS 34 Dec 02
NEWS 35 Dec 04
                 CSA files on STN
NEWS 36 Dec 17
                 PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 37 Dec 17
                 TOXCENTER enhanced with additional content
NEWS 38 Dec 17
                 Adis Clinical Trials Insight now available on STN
NEWS 39
        Dec 30
                ISMEC no longer available
```

NEWS EXPRESS December 31 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),

09889106 Page 2 01/03/2003

AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002

NEWS HOURS

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NEWS INTER

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Welcome Banner and News Items

NEWS PHONE

Direct Dial and Telecommunication Network Access to STN

NEWS WWW

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FILE 'HOME' ENTERED AT 12:13:59 ON 03 JAN 2003

=> FIL REGISTRY
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:14:11 ON 03 JAN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 2 JAN 2003 HIGHEST RN 478001-04-6 DICTIONARY FILE UPDATES: 2 JAN 2003 HIGHEST RN 478001-04-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

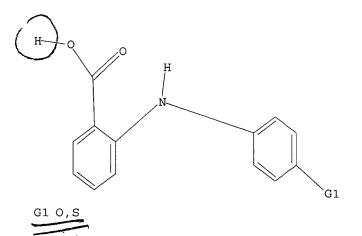
=> Uploading 09889106.str

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR 09889106

Page 3

01/03/2003



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:14:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 56 TO ITERATE

100.0% PROCESSED 56 ITERATIONS

13 ANSWERS

218 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

672 TO 1568

PROJECTED ANSWERS:

44 TO 476

L2 13 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:14:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 995 TO ITERATE

100.0% PROCESSED 995 ITERATIONS

SEARCH TIME: 00.00.01

218 SEA SSS FUL L1

=> FIL CAPLUS

L3

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

148.15 148.36

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 12:14:54 ON 03 JAN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 3 Jan 2003 VOL 138 ISS 2 FILE LAST UPDATED: 2 Jan 2003 (20030102/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s 13

239 L3 L4

=> FIL REGISTRY COST IN U.S. DOLLARS

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 2 JAN 2003 HIGHEST RN 478001-04-6 DICTIONARY FILE UPDATES: 2 JAN 2003 HIGHEST RN 478001-04-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading 09889106a.str

1.5 STRUCTURE UPLOADED

=> d 15L5 HAS NO ANSWERS  $L_5$ STR

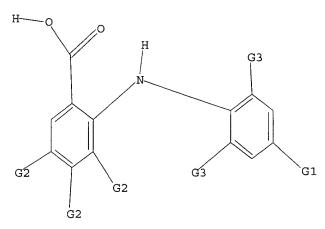
TOTAL SESSION

152.11

SINCE FILE

ENTRY

3.75



G1 0, S

G2 H, Cl, Br, F

G3 H, NO2,X

Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 12:20:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 56 TO ITERATE

100.0% PROCESSED 56 ITERATIONS

6 ANSWERS

80 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

672 TO 1568

PROJECTED ANSWERS:

6 TO 266

L6

6 SEA SSS SAM L5

=> s 15 sss full

FULL SEARCH INITIATED 12:20:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 995 TO ITERATE

100.0% PROCESSED 995 ITERATIONS

SEARCH TIME: 00.00.01

L7 80 SEA SSS FUL L5

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

148.15 300.26

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 12:21:09 ON 03 JAN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Page 6

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FILE COVERS 1907 - 3 Jan 2003 VOL 138 ISS 2 FILE LAST UPDATED: 2 Jan 2003 (20030102/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d his

(FILE 'HOME' ENTERED AT 12:13:59 ON 03 JAN 2003)

FILE 'REGISTRY' ENTERED AT 12:14:11 ON 03 JAN 2003

L1STRUCTURE UPLOADED

 $L_2$ 13 S L1

L3 218 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 12:14:54 ON 03 JAN 2003 L4239 S L3

FILE 'REGISTRY' ENTERED AT 12:20:23 ON 03 JAN 2003

L5 STRUCTURE UPLOADED

L6 6 S L5

1.7 80 S L5 SSS FULL

FILE 'CAPLUS' ENTERED AT 12:21:09 ON 03 JAN 2003



=> s 18/thu

FIELD CODES CANNOT BE CHANGED HERE

You may have tried to apply a field code to a term that already has a field code. You can only add a field code to a term that has no field code appended to it.

=> s 17/thu

136 L7 483001-THU/RL 10 L7/THU L9 (L7 (L) THU/RL)

=> d ibib abs hitstr 19 tot

L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:63820

DOCUMENT NUMBER: 134:131318 TITLE:

Preparation of (phenylamino) benzenesul fonamides and (phenylamino) benzamides as MEK inhibitors for the

treatment of chronic pain

INVENTOR (S):

Inventor Bridges, Alexander James; Booth, Richard John; Tecle Haile; Scaggs, Yvonne; Kaufman, Michael; Barrett,

Stephen Douglas; Dixon, Alistair; Lee, Kevin; Pinnock,

Robert Denham

PATENT ASSIGNEE(S):

Warner-Lambert Company, USA PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ------\_ \_ \_ \_ ------WO 2001005393 20010125 WO 2000-US18348 20000705 A3 2:0010510 WO 2001005393 AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1202724 A2 20020508 EP 2000-945140 20000705 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL PRIORITY APPLN. INFO.: US 1999-144280P P 19990716 US 1999-144320P P 19990716 US 1999-144419P Ρ 19990716 US 1999-144655P Р 19990716 US 1999-144658P Р 19990716 US 1999-144659P Р 19990716 WO 2000-US18348 W 20000705 MARPAT 134:131318

OTHER SOURCE(S):

GT

The title compds. (I) [wherein R1 = H, (phenyl)alkyl, (phenyl)alkenyl, AΒ (phenyl) alkynyl, cycloalkyl, Ph, cycloalkylalkyl, cycloalkylalkenyl, cycloalkylalkynyl, heterocyclyl, heterocyclylalkyl, heterocyclylalkenyl, heterocyclylalkynyl, alkoxylalkyl, phenoxyalkyl, (un)substituted

aminoalkyl, piperidinoalkyl, morpholinoalkyl, or alkylpiperazinoalkyl; R2 = H, (cyclo)alkyl, Ph, heterocyclyl, or cycloalkylmethyl; R3 and R4 = independently H, F, NO2, Br, or Cl; R5 = H or F; R6 = H, F, Cl, or Me] were prepd. for the treatment of chronic pain. For example, 2,3,4-trifluorobenzenesulfonyl chloride was amidated O-cyclopropylmethylhydroxylamine.bul.HCl in CH2Cl2 using diisopropylethylamine (68%). Coupling with 2-chloro-4-iodoaniline in THF in the presence of Li bis(trimethylsilyl)amide afforded PD 297447 (II) in 73% yield. The APK IC50 for PD 297447 was 0.965 .mu.M. Intrathecally administered II (30.mu.g) showed no significant effect on allodynia in the CCI model of neuropathic pain in rats, perhaps due to low affinity or soly. of the compd. However, related MEK inhibitors with higher affinities exerted an antiallodynic effect in CCI-induced neuropathic rats.

283601-81-0P, 5-Bromo-2-(2-chloro-4-methylsulfanylphenylamino)-3,4-TT difluorobenzoic acid 283601-82-1P, 2-(2-Chloro-4methanesulfinylphenylamino) -3,4-difluorobenzoic acid 283601-83-2P , 2-(2-Chloro-4-methanesulfonylphenylamino)-3,4,5-trifluorobenzoic acid 283601-84-3P 283601-85-4P, 5-Bromo-2-(2-chloro-4methanesulfonylphenylamino) -3,4-difluorobenzoic acid 283601-86-5p , 2-(2-Chloro-4-methanesulfonylphenylamino)-3,4-difluorobenzoic acid RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of (phenylamino) benzenesulfonamides and (phenylamino) benzamides as MEK inhibitors for treatment of chronic pain) RN283601-81-0 CAPLUS Benzoic acid, 5-bromo-2-[[2-chloro-4-(methylthio)phenyl]amino]-3,4-CN

difluoro- (9CI) (CA INDEX NAME)

RN 283601-82-1 CAPLUS
CN Benzoic acid, 2-[[2-chloro-4-(methylsulfinyl)phenyl]amino]-3,4-difluoro(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CO}_2\text{H} & \text{C1} \\ \hline \\ \text{F} & \text{S-Me} \\ \hline \\ \text{O} \end{array}$$

RN 283601-83-2 CAPLUS CN Benzoic acid, 2-[[2-chloro-4-(methylsulfonyl)phenyl]amino]-3,4,5-trifluoro-(9CI) (CA INDEX NAME)

RN 283601-84-3 CAPLUS

CN Benzoic acid, 2-[[2-chloro-4-(methylthio)phenyl]amino]-3,4-difluoro- (9CI) (CA INDEX NAME)

RN 283601-85-4 CAPLUS

'CN Benzoic acid, 5-bromo-2-[[2-chloro-4-(methylsulfonyl)phenyl]amino]-3,4-difluoro- (9CI) (CA INDEX NAME)

RN 283601-86-5 CAPLUS

CN Benzoic acid, 2-[[2-chloro-4-(methylsulfonyl)phenyl]amino]-3,4-difluoro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CO}_2\text{H} & \text{Cl} \\ \hline & \text{NH} & \text{O} \\ \hline & \text{F} & \text{O} \\ \hline & \text{F} & \text{O} \\ \end{array}$$

L9 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:493286 CAPLUS

DOCUMENT NUMBER: 133:104874

09889106

Page 10

01/03/2003

TITLE:

Preparation of arylaminobenzoates and related

compounds as MEK inhibitors.

Tecle, Haile; Barrett, Stephen Douglas

Warner-Lambert Company, USA PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

Curphila

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PATENT NO.
                     KIND DATE
                                         APPLICATION NO.
                                                          DATE
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    WO 2000041505
                     A2
                           20000720
                                         WO 1999-US30491
                                                          19991221
                         20001019
    WO 2000041505
                     A3
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            HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG,
            MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ,
            VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
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    JP 2000212141
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    EP 1150950
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PRIORITY APPLN. INFO.:
                                      US 1999-115876P P
                                                         19990113
                                      US 1999-122583P P 19990302
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OTHER SOURCE(S):

WO 1999-US30491 W 19991221 MARPAT 133:104874

GΤ

AΒ Title compds. [I; W = OR1, NR2OR1, NRaRb, etc.; R1 = alkyl, alkenyl, alkynyl, cycloalkyl, Ph, etc.; R2 = H, Ph, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl; Ra = H, alkyl, alkenyl, alkynyl, cycloalkyl, Ph, etc.; Rb = H, alkyl, alkenyl, alkynyl, cycloalkyl, Ph; J = SRc, ORc, SO2Rc, SORc, alkyl, alkenyl, alkynyl, cycloalkyl, etc.; Rc = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, etc.; R4-R6 = H, Cl, F, Br; R10 = H, alkyl, halo, NO2, aminosulfonyl; R11 = H, halo, NO2], were prepd. for treatment of proliferative disease (no data). Thus, 2-chloro-4iodoaniline in THF at -78.degree. was treated with LiN(SiMe3)2 in THF followed by addn. of lithiated N-cyclopropylmethoxy-2,3,4trifluorobenzenesulfonamide (prepn. given) in THF and stirring for 1 h in the absence of cooling to give 2-(2-chloro-4-iodophenylamino)-Ncyclopropylmethoxy-3,4-difluorobenzenesulfonamide.

IT 283601-81-0P 283601-82-1P 283601-83-2P 283601-84-3P 283601-85-4P 283601-86-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of arylaminobenzoates and related compds. as MEK inhibitors)

RN 283601-81-0 CAPLUS

CN Benzoic acid, 5-bromo-2-[[2-chloro-4-(methylthio)phenyl]amino]-3,4-difluoro- (9CI) (CA INDEX NAME)

RN 283601-82-1 CAPLUS

CN Benzoic acid, 2-[[2-chloro-4-(methylsulfinyl)phenyl]amino]-3,4-difluoro-(9CI) (CA INDEX NAME)

$$CO_2H$$
  $C1$   $S-Me$ 

RN 283601-83-2 CAPLUS

CN Benzoic acid, 2-[[2-chloro-4-(methylsulfonyl)phenyl]amino]-3,4,5-trifluoro-(9CI) (CA INDEX NAME)

RN 283601-84-3 CAPLUS

CN Benzoic acid, 2-[[2-chloro-4-(methylthio)phenyl]amino]-3,4-difluoro- (9CI) (CA INDEX NAME)

RN 283601-85-4 CAPLUS

CN Benzoic acid, 5-bromo-2-[[2-chloro-4-(methylsulfonyl)phenyl]amino]-3,4-difluoro- (9CI) (CA INDEX NAME)

RN 283601-86-5 CAPLUS

CN Benzoic acid, 2-[[2-chloro-4-(methylsulfonyl)phenyl]amino]-3,4-difluoro-(9CI) (CA INDEX NAME)

L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1995:264633 CAPLUS

DOCUMENT NUMBER:

122:55722

TITLE:

Preparation of 4-anilino-2,6-di-tert-butylphenols as

allergy inhibitors.

INVENTOR(S):

Scherrer, Robert A.

PATENT ASSIGNEE(S):

Riker Laboratories, Inc., USA

SOURCE:

U.S., 15 pp. Cont.-in-part of U.S. Ser. No. 757,358.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE APPLICATION NO. |               | DATE     |
|------------|------|----------------------|---------------|----------|
|            |      |                      |               |          |
| US_5347036 | Α    | 19940913             | US 1993-67636 | 19930526 |
| ZA 8605090 | Α    | 19880224             | ZA 1986-5090  | 19860708 |

| 09889106               | Page | 13           | 0  | ./03/200 | 3        |          |
|------------------------|------|--------------|----|----------|----------|----------|
| IL 79376               | A1   | 19910512     |    | IL 1986  | -79376   | 19860709 |
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| AU 585626              | B2   | 19890622     |    |          |          |          |
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| DK 170666              | B1   | 19951127     |    |          |          |          |
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| NO 172230              | C    | 19930623     |    |          |          |          |
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| JP 63045243            | A2   | 19880226     |    | JP 1986  | -172657  | 19860722 |
| JP 06067884            | B4   | 19940831     |    |          |          |          |
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| CA 1295337             | A2   | 19920204     |    | CA 1990  | -615811  | 19900808 |
| CA 1333618             | A1   | 19941220     |    | CA 1990  | -615812  | 19900808 |
| US 5237070             | A    | 19930817     |    | US 1991  | -701676  | 19910516 |
| JP 07053485            | A2   | 19950228     |    | JP 1994  | -41142   | 19940311 |
| JP 2515486             | B2   | 19960710     |    |          |          |          |
| \\US 5416113           | A    | 19950516     |    | US 1994  | -263390  | 19940622 |
| \ US 5495043           | A    | 19960227     |    | US 1995  | -435585  | 19950505 |
| US 5498745             | Α    | 19960312     |    | US 1995  | -435582  | 19950505 |
| US 5527824             | A    | 19960618     |    | US 1995  | -437143  | 19950505 |
| PRIORITY APPLN. INFO.: |      |              | US | 1985-75  | 7358     | 19850722 |
| •                      |      |              | US | 1986-87  | 9365     | 19860627 |
|                        |      |              | IL | 1986-79  | 19860709 |          |
|                        |      |              | CA | 1986-51  | 4378     | 19860722 |
|                        |      |              | US | 1993-67  | 636      | 19930526 |
|                        |      |              | US | 1994-26  | 3390     | 19940622 |
| OTHER COLLDCE(C).      | M7λ1 | 2DAT 122.557 | 22 |          |          |          |

OTHER SOURCE(S):

MARPAT 122:55722

ΙI

$$R$$
?

 $R$ ?

 $R$ ?

 $R$ ?

 $R$ ?

 $R$ ?

 $R$ ?

AB Title compds. [I; R = H, alkyl, alkoxy, alkylthio, halo, amino, acyamido, OH; n = 0-2; R1 = H, alkyl, Ac, F3CCO; A = CO2H, (N-methyl)tetrazolyl, CONHSO2CF3; B = bond, (O- or S-interrupted) alkylene, alkenylene, CONHCH2; with provisos], and esters and salts thereof, were prepd. Thus, 2,6-di(tert-butyl)-p-benzoquinone, 4-aminobenzoic acid, and BF3.Et2O were heated in THF to give the monoimine deriv., which was hydrogenated in EtOH over Pd/C to give title compd. II. I showed ED40 .ltoreq.40 mg/kg i.p. in ovalbumin-induced bronchoconstriction in guinea pigs. I were relatively

inactive against cyclooxygenase; some of the imine intermediates showed antiallergic activity.

IT 107858-23-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 4-anilino-2,6-di-tert-butylphenols as allergy inhibitors)

RN 107858-23-1 CAPLUS

CN Benzoic acid, 2-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino]- (9CI) (CA INDEX NAME)

L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1993:233895 CAPLUS

DOCUMENT NUMBER:

118:233895

TITLE:

SOURCE:

2-quinolinyl methoxy compounds, medical uses and

intermediates therefor

INVENTOR (S):

Nielsen, Ole Bent T.; Ahfelt-Ronne, Ian Leo Pharmaceutical Products Ltd., Den.

PATENT ASSIGNEE(S):

U.S., 23 pp. Cont.-in-part of U.S. 5,109,009.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.         | KIND      | DATE       |      | APPLICATION NO. | DATE     |
|--------------------|-----------|------------|------|-----------------|----------|
|                    | - <b></b> |            |      |                 |          |
| US 5157039         | A         | 19921020   |      | US 1990-633390  | 19901231 |
| US 4826987         | A         | 19890502   |      | US 1986-834542  | 19860228 |
| US 5109009         | A         | 19920428   |      | US 1990-581121  | 19900910 |
| PRIORITY APPLN. IN | NFO.:     |            | GB   | 1985-6094       | 19850308 |
|                    |           |            | GB   | 1985-25153      | 19851011 |
|                    |           |            | US   | 1986-834542     | 19860228 |
|                    |           |            | US   | 1987-140277     | 19871231 |
|                    |           |            | US   | 1990-581121     | 19900910 |
| OTHER COHROLIA     | B # 75    | DD7 110 00 | 200- |                 |          |

OTHER SOURCE(S):

MARPAT 118:233895

GΙ

$$R^6$$
  $R^5$   $R^4$   $R^3$   $R^4$   $R^4$ 

AB The title compds. [I; R1, R2 = H, (un)substituted alkyl, aryl, aralkyl; R3-R6 = H, halo, pseudohalo, cyano, NO2, amino, CO2H, OH, alkyl, alkoxy; R5R6 = atoms required to form condensed, (un)substituted arom. ring; X =

O, S, SO, SO2] were prepd. as arachidonic acid and histamine inhibitors, and drugs. Thus, 4-AcNHC6H4OH was condensed with 4-(chloromethyl)pyridine-HCl to give acetanilide II (R7 = Ac). This was deacetylated and methylated to give II (R7 = Me). At 10 .mu.M selected I gave 51-100% inhibition of antigen-induced histamine release from rat peritoneal mast cells.

TΤ 146680-14-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as drug)

RN 146680-14-0 CAPLUS

Benzoic acid, 2-[[4-(2-quinolinylmethoxy)phenyl]amino]- (9CI) (CA INDEX CN

$$N$$
  $CH_2-O$   $HO_2C$ 

ANSWER 5 OF 10 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1989:38739 CAPLUS

DOCUMENT NUMBER:

110:38739

TITLE:

Lipoxygenase inhibitors containing p-aminophenol

derivatives

INVENTOR(S):

Hashimoto, Kinji; Goto, Kyoto; Kanai, Kenichi; Tsuda,

Yoshiaki

PATENT ASSIGNEE(S):

Otsuka Pharmaceutical Factory, Inc., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 35 pp. CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. -----JP 63185924 A2 19880801 JP 1987-18929 19870128 PRIORITY APPLN. INFO.: JP 1987-18929 19870128 OTHER SOURCE(S): MARPAT 110:38739 GΙ

HO NHR1
$$R^{2}$$

$$R^{4}$$

$$R^{7}$$

$$R^{7}$$

$$R^{8}$$

$$R^{7}$$

$$R^{8}$$

$$R^{7}$$

$$R^{8}$$

$$R^{7}$$

$$R^{8}$$

$$R^{7}$$

$$R^{8}$$

The title compds. [I, II; R1 = Ph with optional carboxyl, cyano, AΒ carbamoyl, NO2, amino, halo, etc.; R2 - R5 = C1-6 alkyl; R6 = H, C1-6 alkyl; R5R6 = 2,3-(CH2)4; R7 = H, (substituted) C1-6 alkyl, (substituted) Ph, C1-6 alkylsulfonyl, etc.], useful as lipoxygenase inhibitors, are

prepd. A mixt. of 2.2 g 2,6-di-tert-butyl-1,4-benzoquinone and 3.3 g p-FC6H4NH2 in THF was refluxed 6 h with addn. of Et2OBF3 and the resulting mixt. was mixed with water and stirred 15 min with addn. of aq. Na2S2O4 to give 2 g phenol deriv. II (R4,R5 = 2,6-tert-Bu, R6 = H, R7 = 4-F). Also, p-(p-methoxyphenylamino)phenol salt II (R4-R6 = 2,5,6-Me, R7 = 4-OMe).PhSO3H (III) at 1 .mu.M showed 95% inhibition of 5-HETE formation commenced by injection of 2% casein in guinea pig stomachs. An ointment for lipoxygenase inhibition was formulated by mixing III 2, lanolin 5, honey wax 5, and white vaseline 88 g with heating.

ΙT 107858-23-1P 110647-69-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as lipoxygenase inhibitor)

RN107858-23-1 CAPLUS

CN Benzoic acid, 2-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino]- (9CI) (CA INDEX NAME)

RN110647-69-3 CAPLUS

Benzoic acid, 2-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino]-5-CN chloro- (9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:447640 CAPLUS

DOCUMENT NUMBER: 99:47640

TITLE.

AUTHOR (S):

Antineoplastic and cytotoxic activity of copper(II) complexes with N-phenylanthranilic acid derivatives Kriss, E. E.; Garnitskaya, O. G.; Grigor'eva, A. S.;

Konakhovich, N. F.; Petrenko, V. S.; Fialkov, Yu. A. CORPORATE SOURCE:

Inst. Fiz. Khim., Kiev, USSR

SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1983), 17(5),

5.6-7--7-1-

DOCUMENT TYPE:

LANGUAGE:

GΙ

CODEN: KHFZAN; ISSN: 0023-1134 Journal Russian

AB Complexes of Cu(II) with mefenamic (I), flufenamic (II), N-(3-difluoromethylthiophenylanthranilic (III), and N-(4-difluoromethylthiophenyl) anthranilic (IV) acids were tested for in vitro and in vivo activity in mice against Ehrlich adenocarcinoma and lymphadenosis NK/Ly. In vitro, all complexes showed greater cytotoxic activity than thiotepa, and the relative order was Cu(II)2 [55940-12-0] > Cu(IV)2 [86526-65-0] > Cu(III)2 [86526-66-1] > Cu(I)2 [55940-11-9]. In vivo, i.p. administration of the complexes gave better therapeutic results than did s.c. treatment.

IT 51679-50-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)

(neoplasm inhibition by)

RN 51679-50-6 CAPLUS

CN Benzoic acid, 2-[[4-[(difluoromethyl)thio]phenyl]amino]- (9CI) (CA INDEX NAME)

L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1982:217497 CAPLUS

DOCUMENT NUMBER: 96:217497

TITLE: Analgesic benzamides

PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 57007455 A2 19820114 JP 1980-80784 19800613

PRIORITY APPLN. INFO.: JP 1980-80784 19800613

GI

AB Seventy-one benzamides, e.g., I (R = OEt, OH, Me, OCH2CH2NEt2, O2CNHMe, etc.; NR1R2 = NH2, NMe2, 4-(2-hydroxyethyl)piperazin-1-yl, NHCH(CO2H)CH2CH2CO2H, 2-thiazolylamino, etc.; R3NR4 = o-HO2CC6H4NH, AcNH, HOCH2CONH, Me2NCH2CONH, Me2NCOCH2NMe, 4-methyl-2,5-dioxopiperazin-1-yl, etc.), and II (R5 = H, Me2N; n = 1,2), having analgesic activity comparable to aminopyrine and low toxicity in mice, were prepd. 2,5-EtO(O2N)C6H3CO2Me was reduced with Fe-HCl and heated with 28% NH4OH at 100.degree. to give 2,5-EtO(H2N)C6H3CONH2, which (10 g) reacted with 11.2 g o-BrC6H4CO2H, 3.5 powd. Cu, and 7.7 g K2CO3 in amyl alc. for 6 h to give 5.2 g I (R = OEt, NR1R2 = NH2, R3NR4 = o-H02CC6H4NH).IT

81930-15-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and analgesic activity of)

RN 81930-15-6 CAPLUS

CN Benzoic acid, 2-[[3-(aminocarbonyl)-4-ethoxyphenyl]amino]- (9CI) INDEX NAME)

ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:165246 CAPLUS

DOCUMENT NUMBER: 86:165246

TITLE: Some pharmacological effects of N-phenylanthranilic

acid derivatives

AUTHOR(S): Danilenko, V. S.; Litvinov, V. B.; Mombuzhai, M. M. CORPORATE SOURCE:

Kiev. Nauchno-Issled. Inst. Farmakol. Toksikol., Kiev,

SOURCE: Fiziologicheski Aktivnye Veshchestva (1976), 8, 83-5

> CODEN: FAVUAI; ISSN: 0533-1153 Journal-

DOCUMENT TYPE:

LANGUAGE:

GI

AB Of the 8 N-phenylanthranilic acid derivs. tested, diethylaminoethyl p-difluoromethylthio-N-phenylanthranilate-HCl (I) [62498-76-4] had by far the greatest anticholinesterase activity, in that at 10-5M it inhibited by 84.3% horse serum cholinesterase [9001-08-5]in vitro. At 25-36 mg/kg i.p. I increased by 2-3 times hexenal sleep and by 1.2-1.4 times the duration of arecoline tremors in mice. At 25 mg/kg it inhibited a conditioned defensive reflex in rats, but this was probably a nonspecific effect of the compd. and not related to its anticholinesterase activity.

IT 51679-41-5 51679-42-6

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacol. of)

51679-41-5 CAPLUS RN

CN Benzoic acid, 2-[[4-(trifluoromethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)

RN 51679-42-6 CAPLUS

CN Benzoic acid, 2-[[4-[(trifluoromethyl)thio]phenyl]amino]- (9CI) (CA INDEX NAME)

L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1973:438532 CAPLUS

DOCUMENT NUMBER: 79:38532

TITLE: Pharmacological properties of some N-phenylanthranilic

acid derivatives

AUTHOR (S): Trinus, F. P.; Mokhort, N. A.; Endel'man, E. S.;

Fadeicheva, A. G.; Fialkov, Yu. A.; Yufa, P. A.;

Yaqupol'skii, L. M.

Kiev. Nauchno-Issled. Inst. Farmakol. Toksikol., Kiev, CORPORATE SOURCE:

SOURCE: Fiziologicheski Aktivnye Veshchestva (1966-1992)

(1972), No. 4, 46-8

CODEN: FAVUAI; ISSN: 0533-1153

DOCUMENT TYPE:

Journal. LANGUAGE: Russian

AB N-phenylanthranilic acid [91-40-7] showed weak, while N-(2.3dimethylphenyl)anthranilic acid [61-68-7] showed significant antiinflammatory, analgesic, and hypothermic effects when tested on mice and rats at doses corresponding to 1/10 LD50 values.

IT 13501-67-2 35958-19-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacol. of)

13501-67-2 CAPLUS RN

CN Benzoic acid, 2-[(4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

RN35958-19-1 CAPLUS

Benzoic acid, 2-[[4-(methylthio)phenyl]amino]- (9CI) (CA INDEX NAME) CN



ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1970:41265 CAPLUS

DOCUMENT NUMBER: 72:41265

TITLE: Antiinflammatory activities of related compounds to

anthranilic acid. I. On N-phenylanthranilic acid

derivatives

AUTHOR (S): Sota, Kaoru; Noda, Katsumi; Maruyama, Hotaka;

Fujihira, Eiichi; Nakazawa, Masao

CORPORATE SOURCE: Res. Lab., Taisho Pharm. Co., Ltd., Tokyo, Japan

SOURCE: Yakugaku Zasshi (1969), 89(10), 1392-400

CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal LANGUAGE: English

Twenty-nine N-phenylanthranilic acid derivs. were synthesized and their oral effectiveness on carrageenan edema and cotton pellet granuloma in rats, or uv-induced erythema in guinea pigs was tested. I.V. acute rtexicity of these compds. was also examd. in mice. The antiedema activity of N-phenylanthranilic acid (I) was estd. to be almost equal to that of salicylic acid. N-(.alpha.-Naphthyl)anthranilic acid was more potent but showed higher acute toxicity than did I or phenylbutazone. Methyl or Cl substitution of I enhanced both antiinflammatory and toxic activities of the compds., while carboxyl, amino or hydroxy group substitution, considerably reduced these biol. properties. Of the compds. tested, flufenamic acid was the most active in all the 3 antiinflammatory assays. The derivs. related to flufenamic acid were also active agents. However, no enhancement of toxicity was noted by trifluoromethyl substitution in I and no significant redn. of biol. activities was obsd. by introduction of another carboxyl group into the fulfenamic acid mol.,

IT 13501-67-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

09889106

Page 21

01/03/2003

study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antiinflammatory activity of)

RN 13501-67-2 CAPLUS

CN Benzoic acid, 2-[(4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

=> log y
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

49.17 349.43

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

-6.51 -6.51

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